

## APPENDIX 1

2 Andrea, T.A., *et al.* *J Med Chem* **22**, 221-232 (1979).

3 Andrews *et al*, U.S. Patent No. 4,741,897, issued May 3, 1989.

4 Apriletti, J.W., Baxter, J.D., Lau, K.H & West, B.L. *Protein Expression and*  
5 *Purification* **6**, 363-370 (1995).

6 Apriletti, J.W., Baxter, J.D. & Lavin, T.N. *J. Biol. Chem.* **263**, 9409-9417 (1988).

7 Au-Fliegner, M., Helmer, E., Casanova, J., Raaka, B.M. & Samuels, H.H. *Mol Cell*  
8 *Biol* **13**, 5725-5737 (1993).

9 Baniahmad, A., *et al.* *Mol Cell Biol* **15**, 76-86 (1995).

10 Barettino, D., Vivanco Ruiz, M.M. & Stunnenberg, H.G. *Embo J* **13**, 3039-3049  
11 (1994).

12 Beck-Peccoz, P., *et al.* *J Clin Endocrinol Metab* **78**, 990-993 (1994).

13 Bhat, M.K., McPhie, P. & Cheng, S.Y. *Biochem Biophys Res Commun* **210**, 464-471  
14 (1995).

15 Blake, C.C. & Oatley, S.J. *Nature* **268**, 115-120 (1977).

16 Blake, C.C., Geisow, M.J., Oatley, S.J., Rerat, B. & Rerat, C. *J Mol Biol* **121**, 339-  
17 356 (1978).

18 Bourguet, W., Ruff, M., Chambon, P., Gronemeyer, H. & Moras, D. *Nature* **375**,  
19 377-382 (1995).

20 Brent, G.A. *N Engl J Med* **331**, 847-853 (1994).

21 Brunger, A.T., Kuriyan, J. & Karplus, M. *Science* **235**, 458-460 (1987).

22 Casanova, J., *et al.* *Mol Cell Biol* **14**, 5756-5765 (1994).

23 Cavailles, V., *et al.* *Embo J* **14**, 3741-3751 (1995).

1 Chin *et al*, U.S. Patent No. 5,284,999, issued February 8, 1994.

2 Collaborative Computational Project, N.4. *Acta Crystallogr. D50*, 760-763 (1994).

3 Collingwood, T.N., Adams, M., Tone, Y & Chatterjee, V.K. *Mol Endocrinol 8*,  
4 1262-1277 (1994).

5 Cowtan, K. *Joint CCP4 and ESF-EACBM Newsletter on Protein Crystallography 31*,  
6 34-38 (1994).

7 Damm, K. & Evans, R.M. *Proc Natl Acad Sci U S A 90*, 10668-10672 (1993).

8 Danielian, P.S., White, R., Lees, J.A. & Parker, M.G. *Embo J 11*, 1025-1033  
9 (1992).

10 Davies *et al*, U.S. Patent No. 5,322,933, issued June 21, 1994.

11 Dawson *et al*, U.S. Patent No. 5,466,861, issued November 14, 1995.

12 DeGroot *et al*, U.S. Patent No. 5,438,126, issued August 1, 1995.

13 Dietrich, S.W., Bolger, M.B., Kollman, P.A. & Jorgensen, E.C. *J Med Chem 20*,  
14 863-880 (1977).

15 Durand, B., *et al*. *Embo J 13*, 5370-5382 (1994).

16 Ellis *et al*, U.S. Patent No. 4,766,121, issued August 23, 1988.

17 Ellis *et al*, U.S. Patent No. 4,826,876, issued May 2, 1989.

18 Ellis *et al*, U.S. Patent No. 4,910,305, issued March 20, 1990.

19 Emmett *et al*, U.S. Patent No. 5,061,798, issued October 29, 1991.

20 Evans, R.M. *Science 240*, 889-895 (1988).

21 Evans *et al*, U.S. Patent No. 5,171,671, issued December 15, 1992.

22 Evans *et al*, U.S. Patent No. 5,312,732, issued May 17, 1994.

23 Fawell, S.E., Lees, J.A., White, R. & Parker, M.G. *Cell 60*, 953-962 (1990).

1 Forman, B.M. & Samuels, H.H. *Mol. Endocrinol.* **4**, 1293-1301 (1990).

2 Gewirth, D.T. & Sigler, P.B. *Nature Structural Biology* **2**, 386-394 (1995).

3 Glass, C.K. *Endocr Rev* **15**, 391-407 (1994).

4 Hayashi, Y. Sunthornthepvarakul, T. & Refetoff, S. *J Clin Invest* **94**, 607-615  
5 (1994).

6 Jones, T.A., Zou, J.Y., Cowan, S.W. & Kjeldgaard. *Acta Crystallogr A* **47**, 110-119  
7 (1991).

8 Jorgensen, E.C. in *Hormonal Peptides and Proteins* (eds. Li, C.H.) 107-204  
9 (Academic Press, New York, 1978).

10 Kabsch, W. *J. Appl. Crystallogr.* **26**, 795-800 (1993).

11 Kabsch, W. & Sander, C. *Biopolymers* **22**, 2577-2637 (1983).

12 Laskowski, R.A., Macarthur, M.W., Moss, D.S. & Thornton, J.M. *J. Appl.*  
13 *Crystallogr.* **26**, 283-291 (1993).

14 Latham, K.R., Apriletti, J.W., Eberhardt, N.L. & Baxter, J.D. *J Biol Chem* **256**,  
15 12088-12093 (1981).

16 Laudet, V., Hanni, C., Coll, J., Catzeflis, F. & Stehelin, D. *Embo J* **11**, 1003-1013  
17 (1992).

18 LeDouarin, B., *et al.* *Embo J* **14**, 2020-2033 (1995).

19 Lee, J.W., Ryan, F., Swaffield, J.C., Johnston, S.A. & Moore, D.D. *Nature* **374**,  
20 91-94 (1995).

21 Lee, J.W., Choi, H.S., Gyuris, J., Brent, R. & Moore, D.D. *Molec. Endocrinol.* **9**,  
22 243-254 (1995).

1 Leeson, P.D., Emmett, J.C., Shah, V.P., Showell, G.A., Novelli, R., Prain, H.D.,  
2 Benson, M.G., Ellis, D., Pearce, N.J. & Underwood, A.H. *J. Med. Chem.* **32**, 320-  
3 336 (1989).

4 Leeson, P.D., Ellis, D., Emmett, J.D., Shah, V.P., Showell, G.A. & Underwood,  
5 A.H. *J. Leng, X., et al. Mol Cell Biol* **15**, 255-263 (1995).

6 Leng, X., Tsai, S.Y., O'Malley, B.W. & Tsai, M.J. *J Steroid Biochem Mol Biol* **46**,  
7 643-661 (1993).

8 Lin, K.H., Parkison, C., McPhie, P. & Cheng, S.Y. *Mol. Endocrinol.* **5**, 485-492  
9 (1991).

10 Luisi, B.F., *et al. Nature* **352**, 497-505 (1991).

11 McGrath, M.E., *et al. J. Mol. Biol.* **237**, 236-239 (1994).

12 McRee, D.E., *Practical Protein Crystallography*, Academic Press, N.Y. (1993),  
13 especially chapters 1, 2 and 3.

14 Meier, C.A., *et al. Mol. Endocrinol.* **6**, 248-258 (1992).

15 Miura *et al*, U.S. Patent No. 5,116,828, issued May 26, 1992.

16 Monaco, H.L., Rizzi, M. & Coda, A. *Science* **268**, 1039-1041 (1995).

17 Nicholls, A., Sharp, K.A. & Honig, B. *Proteins* **11**, 281-296 (1991).

18 O'Donnell, A.L., Rosen, E.D., Darling, D.S. & Koenig, R.J. *Mol. Endocrinol.* **5**,  
19 94-99 (1991).

20 Otwinowski, Z. *Proceedings of the CCP4 Study Weekend 80-86 (SERC Daresbury*  
21 *Laboratory, Warrington, U.K., 1991).*

22 Otwinowski, Z. *Proceedings of the CCP4 Study Weekend: "Data Collection and*  
23 *Processing" 56-62 (SERC Daresbury Laboratory, Warrington, U.K., 1993).*

1 Ozato, U.S. Patent No. 5,403,925, issued April 4, 1995.

2 Rastinejad, R., Perlmann, T., Evans, R.M. & Sigler, P.B. *Nature* **375**, 203-211

3 (1995).

4 Refetoff, S., Weiss, R.E. & Usala, S.J. *Endocr. Rev.* **14**, 348-399 (1993).

5 Ribeiro, R.C.J., Kushner, P.J. & Baxter, J.D. *Annu. Rev. Med.* **46**, 443-453 (1995).

6 Ribeiro, R.C.J., *et al.* *Ann. N. Y. Acad. Sci.* **758**, 366-389 (1995).

7 Ribeiro, R.C., Kushner, P.J., Apriletti, J.W., West, B.L. & Baxter, J.D. *Mol. Endocrinol.* **6**, 1142-1152 (1992).

8 Saatcioglu, F., Bartunek, P., Deng, T., Zenke, M. & Karin, M. *Mol. Cell Biol.* **13**, 3675-3685 (1993).

9 Schwabe, J.W., Chapman, L., Finch, J.T. & Rhodes, D. *Cell* **75**, 567-578 (1993).

10 Selmi, S. & Samuels, H.H. *J. Biol. Chem.* **266**, 11589-11593 (1991).

11 Swaffield, J.C., Melcher, K. & Johnston, S.A. *Nature* **374**, 88-91 (1995).

12 Toney, J.H. *et al.* *Biochemistry* **32**, 2-6 (1993).

13 Tsai, M.J. & O'Malley, B.W. *Annu. Rev. Biochem.* **63**, 451-486 (1994).

14 Zenke, M., Munoz, A., Sap, J., Vennstrom, B. & Beug, H. *Cell* **61**, 1035-1049

15 (1990).

16

17